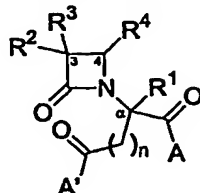


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WHAT IS CLAIMED IS:

1. A compound of the formula



wherein:

n is an integer selected from 0, 1, and 2;

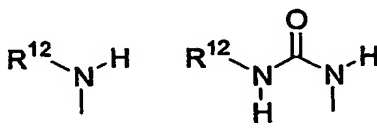
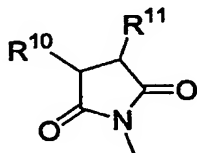
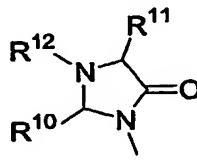
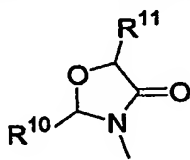
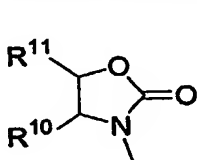
A is R^5O- , $XNH-$, or $R^{14}XN-$;

A' is $R^{5'}O-$, $X'NH-$, or $R^{14'}X'N-$;

R^1 is hydrogen or C_1-C_6 alkyl;

R^2 is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_1-C_4 alkoxy, C_1-C_4 alkylthio, halo, haloalkyl, cyano, formyl, alkylcarbonyl, alkoxycarbonyl, or a substituent selected from the group consisting of $-CO_2R^8$, $-CONR^8R^8$, and $-NR^8(COR^9)$;

R^3 is a structure selected from the group consisting of



R^4 is C_1-C_6 alkyl, C_2-C_6 alkenyl, C_2-C_6 alkynyl, C_3-C_8 cycloalkyl, C_3-C_9 cycloalkenyl, C_1-C_3 alkylcarbonyl, optionally substituted aryl, optionally substituted aryl(C_1-C_4 alkyl), optionally substituted aryl(C_2-C_4 alkenyl), or optionally substituted aryl(C_2-C_4 alkynyl);

R^5 is selected from the group consisting of hydrogen, C_1-C_6 alkyl, C_3-C_8 cycloalkyl, $(C_1-C_4$ alkoxy)-(C_1-C_4 alkyl), optionally substituted aryl(C_1-C_4 alkyl), $Y-$, $Y-(C_1-C_4$ alkyl), and $R^6R^7N-(C_2-C_4$ alkyl);

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R^3 is selected from the group consisting of hydrogen, C_1 - C_6 alkyl, C_3 - C_8 cycloalkyl, $(C_1$ - C_4 alkoxy)- $(C_1$ - C_4 alkyl), optionally substituted aryl(C_1 - C_4 alkyl), Y' , Y' -(C_1 - C_4 alkyl), and R^6R^7N -(C_2 - C_4 alkyl);

Y and Y' are each independently selected from the group consisting of tetrahydrofuryl, morpholinyl, pyrrolidinyl, piperidinyl, piperazinyl, homopiperazinyl, and quinuclidinyl; where said morpholinyl, pyrrolidinyl, piperidinyl, piperazinyl, homopiperazinyl, or quinuclidinyl is optionally N-substituted with C_1 - C_4 alkyl or optionally substituted aryl(C_1 - C_4 alkyl);

X is selected from the group consisting of C_1 - C_6 alkyl, C_3 - C_8 cycloalkyl, $(C_1$ - C_4 alkoxy)- $(C_1$ - C_4 alkyl), optionally substituted aryl, optionally substituted aryl(C_1 - C_4 alkyl), optionally substituted aryl(C_3 - C_7 cycloalkyl), optionally substituted indan-1-yl, optionally substituted indan-2-yl, optionally substituted 1,2,3,4-tetrahydronaphth-1-yl, optionally substituted 1,2,3,4-tetrahydronaphth-2-yl, Y , Y -(C_1 - C_4 alkyl), R^6R^7N -, and R^6R^7N -(C_2 - C_4 alkyl);

R^{14} is selected from the group consisting of hydroxy, C_1 - C_6 alkyl, C_1 - C_4 alkoxycarbonyl, and benzyl; or

R^{14} and X are taken together with the attached nitrogen atom to form an optionally substituted first heterocycle, where said first heterocycle is selected from the group consisting of pyrrolidinyl, piperidinyl, piperazinyl, homopiperazinyl, pyrrolidinonyl, piperidinonyl, 2-(pyrrolidin-1-ylmethyl)pyrrolidin-1-yl, and 1,2,3,4-tetrahydroisoquinolin-2-yl;

X' is selected from the group consisting of C_1 - C_6 alkyl, C_3 - C_8 cycloalkyl, $(C_1$ - C_4 alkoxy)- $(C_1$ - C_4 alkyl), optionally substituted aryl, optionally substituted aryl(C_1 - C_4 alkyl), optionally substituted aryl(C_3 - C_7 cycloalkyl), optionally substituted indan-1-yl, optionally substituted indan-2-yl, optionally substituted 1,2,3,4-tetrahydronaphth-1-yl, optionally substituted 1,2,3,4-tetrahydronaphth-2-yl, Y' , Y' -(C_1 - C_4 alkyl), R^6R^7N -, and R^6R^7N -(C_2 - C_4 alkyl);

$R^{14'}$ is selected from the group consisting of hydroxy, C_1 - C_6 alkyl, C_1 - C_4 alkoxycarbonyl, and benzyl; or

$R^{14'}$ and X' are taken together with the attached nitrogen atom to form an optionally substituted second heterocycle, where said second heterocycle is selected from the group consisting of pyrrolidinyl, piperidinyl, piperazinyl, homopiperazinyl,

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pyrrolidinonyl, piperidinonyl, 2-(pyrrolidin-1-ylmethyl)pyrrolidin-1-yl, and 1,2,3,4-tetrahydroisoquinolin-2-yl;

R^6 is hydrogen or C_1 - C_6 alkyl; and R^7 is C_1 - C_6 alkyl, C_3 - C_8 cycloalkyl, optionally substituted aryl, or optionally substituted aryl(C_1 - C_4 alkyl); or

R^6 and R^7 are taken together with the attached nitrogen atom to form an heterocycle selected from the group consisting of pyrrolidinyl, piperidinyl, morpholinyl, piperazinyl, and homopiperazinyl; where said piperazinyl or homopiperazinyl is optionally N-substituted with R^{13} ;

$R^{6'}$ is hydrogen or C_1 - C_6 alkyl; and $R^{7'}$ is C_1 - C_6 alkyl, C_3 - C_8 cycloalkyl, optionally substituted aryl, or optionally substituted aryl(C_1 - C_4 alkyl); or

$R^{6'}$ and $R^{7'}$ are taken together with the attached nitrogen atom to form an heterocycle selected from the group consisting of pyrrolidinyl, piperidinyl, morpholinyl, piperazinyl, and homopiperazinyl; where said piperazinyl or homopiperazinyl is optionally N-substituted with $R^{13'}$;

R^8 and $R^{8'}$ are each independently selected from the group consisting of hydrogen, C_1 - C_6 alkyl, C_3 - C_8 cycloalkyl, optionally substituted aryl, and optionally substituted aryl(C_1 - C_4 alkyl); or

R^8 and $R^{8'}$ are taken together with the attached nitrogen atom to form an heterocycle selected from the group consisting of optionally substituted pyrrolidinyl, piperidinyl, morpholinyl, piperazinyl, and homopiperazinyl;

R^9 is selected from the group consisting of hydrogen, C_1 - C_6 alkyl, C_3 - C_8 cycloalkyl, (C_1 - C_4 alkoxy)-(C_1 - C_4 alkyl), optionally substituted aryl, optionally substituted aryl(C_1 - C_4 alkyl), optionally substituted heteroaryl, optionally substituted heteroaryl(C_1 - C_4 alkyl), and $R^8R^{8'}N$ -(C_1 - C_4 alkyl);

R^{10} and R^{11} are each independently selected from the group consisting of hydrogen, optionally substituted C_1 - C_6 alkyl, optionally substituted C_3 - C_8 cycloalkyl, C_1 - C_4 alkoxycarbonyl, C_1 - C_5 alkylcarbonyloxy, optionally substituted aryl, optionally substituted aryl(C_1 - C_4 alkyl), optionally substituted aryl(C_1 - C_4 alkyloxy), optionally substituted aryl(C_1 - C_4 alkylcarbonyloxy), diphenylmethoxy, and triphenylmethoxy;

R^{12} , R^{13} , and $R^{13'}$ are each independently selected from the group consisting of hydrogen, C_1 - C_6 alkyl, C_3 - C_8 cycloalkyl, C_1 - C_4 alkoxycarbonyl, optionally substituted

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aryloxycarbonyl, optionally substituted aryl(C₁-C₄ alkyl), and optionally substituted aryloyl;
and

hydrates, solvates, and pharmaceutically acceptable salts thereof

2. The compound of claim 1, wherein A is XNH-

3. The compound of claim 1, wherein A is R¹⁴XN-

4. The compound of claim 3, wherein R¹⁴ is selected from the group consisting of hydroxy, C₁-C₆ alkyl, C₁-C₄ alkoxy, carbonyl, and benzyl; and where X is selected from the group consisting of C₁-C₆ alkyl, C₃-C₈ cycloalkyl, (C₁-C₄ alkoxy)-(C₁-C₄ alkyl), optionally substituted aryl, optionally substituted aryl(C₁-C₄ alkyl), optionally substituted aryl(C₃-C₇ cycloalkyl), optionally substituted indan-1-yl, optionally substituted indan-2-yl, optionally substituted 1,2,3,4-tetrahydronaphth-1-yl, optionally substituted 1,2,3,4-tetrahydronaphth-2-yl, Y, Y-(C₁-C₄ alkyl), R⁶R⁷N-, and R⁶R⁷N-(C₂-C₄ alkyl).

5. The compound of claim 3, wherein R¹⁴ and X are taken together with the attached nitrogen atom to form an optionally substituted first heterocycle.

6. The compound of claim 3, wherein R¹⁴ and X are taken together with the attached nitrogen atom to form an optionally substituted first heterocycle substituted with a substituent selected from the group consisting of optionally substituted C₁-C₆ alkyl, optionally substituted C₃-C₈ cycloalkyl, C₁-C₄ alkoxy, carbonyl, C₁-C₅ alkylcarbonyloxy, optionally substituted aryl, optionally substituted aryl(C₁-C₄ alkyl), optionally substituted aryl(C₁-C₄ alkoxy), optionally substituted aryl(C₁-C₄ alkylcarbonyloxy), R⁶R⁷N-, and R⁶R⁷N-(C₁-C₄ alkyl).

7. The compound of claim 3, wherein R¹⁴ and X are taken together with the attached nitrogen atom to form a piperidinyl optionally substituted at the 4-position with hydroxy, C₁-C₆ alkyl, C₃-C₈ cycloalkyl, C₁-C₄ alkoxy, (C₁-C₄ alkoxy)carbonyl, (hydroxy(C₂-C₄ alkoxy))-(C₂-C₄ alkyl), R⁶R⁷N-, R⁶R⁷N-(C₁-C₄ alkyl), diphenylmethyl, optionally substituted aryl, optionally substituted aryl(C₁-C₄ alkyl), or piperidin-1-yl(C₁-C₄ alkyl).

8. The compound of claim 3, wherein R¹⁴ and X are taken together with the attached nitrogen atom to form a piperazinyl optionally substituted at the 4-position with C₁-C₆ alkyl, C₃-C₈ cycloalkyl, optionally substituted aryl, optionally substituted aryl(C₁-C₄ alkyl), α -methylbenzyl, N-(C₁-C₅ alkyl) acetamid-2-yl, N-(C₃-C₈ cycloalkyl) acetamid-2-yl, R⁶R⁷N-, or (C₁-C₄ alkoxy)carbonyl.

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9. The compound of claim 3, wherein R^{14} and X are taken together with the attached nitrogen atom to form a homopiperazinyl optionally substituted in the 4-position with C_1 - C_4 alkyl, aryl, or aryl(C_1 - C_4 alkyl).

10. The compound of claim 1, wherein A' is XNH -.

11. The compound of claim 1, wherein A' is $R^{14}XN$ -.

12. The compound of claim 11, wherein $R^{14'}$ is selected from the group consisting of hydroxy, C_1 - C_6 alkyl, C_1 - C_4 alkoxy carbonyl, and benzyl; and where X' is selected from the group consisting of C_1 - C_6 alkyl, C_3 - C_8 cycloalkyl, (C_1 - C_4 alkoxy)-(C_1 - C_4 alkyl), optionally substituted aryl, optionally substituted aryl(C_1 - C_4 alkyl), optionally substituted aryl(C_3 - C_7 cycloalkyl), optionally substituted indan-1-yl, optionally substituted indan-2-yl, optionally substituted 1,2,3,4-tetrahydronaphth-1-yl, optionally substituted 1,2,3,4-tetrahydronaphth-2-yl, Y' , $Y'-(C_1-C_4$ alkyl), R^6R^7N -, and $R^6R^7N-(C_2-C_4$ alkyl).

13. The compound of claim 11, wherein $R^{14'}$ and X' are taken together with the attached nitrogen atom to form an optionally substituted second heterocycle.

14. The compound of claim 11, wherein $R^{14'}$ and X' are taken together with the attached nitrogen atom to form an optionally substituted second heterocycle substituted with a substituent selected from the group consisting of optionally substituted C_1 - C_6 alkyl, optionally substituted C_3 - C_8 cycloalkyl, C_1 - C_4 alkoxy carbonyl, C_1 - C_5 alkyl carbonyloxy, optionally substituted aryl, optionally substituted aryl(C_1 - C_4 alkyl), optionally substituted aryl(C_1 - C_4 alkyloxy), optionally substituted aryl(C_1 - C_4 alkyl carbonyloxy), R^6R^7N -, and $R^6R^7N-(C_1-C_4$ alkyl).

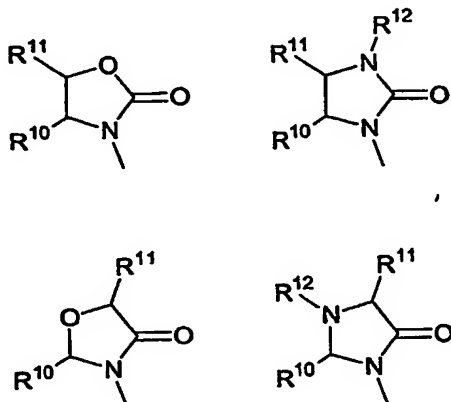
15. The compound of claim 11, wherein $R^{14'}$ and X' are taken together with the attached nitrogen atom to form a piperidinyl optionally substituted at the 4-position with hydroxy, C_1 - C_6 alkyl, C_3 - C_8 cycloalkyl, C_1 - C_4 alkoxy, (C_1 - C_4 alkoxy) carbonyl, (hydroxy(C_2 - C_4 alkyloxy))-(C_2 - C_4 alkyl), R^6R^7N -, $R^6R^7N-(C_1-C_4$ alkyl), diphenylmethyl, optionally substituted aryl, optionally substituted aryl(C_1 - C_4 alkyl), or piperidin-1-yl(C_1 - C_4 alkyl).

16. The compound of claim 11, wherein $R^{14'}$ and X' are taken together with the attached nitrogen atom to form a piperazinyl optionally substituted at the 4-position with C_1 - C_6 alkyl, C_3 - C_8 cycloalkyl, optionally substituted aryl, optionally substituted aryl(C_1 - C_4 alkyl), α -methylbenzyl, $N-(C_1-C_5$ alkyl) acetamid-2-yl, $N-(C_3-C_8$ cycloalkyl) acetamid-2-yl, R^6R^7N -, or (C_1 - C_4 alkoxy) carbonyl.

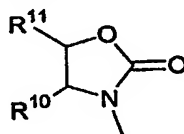
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17. The compound of claim 11, wherein $R^{14'}$ and X' are taken together with the attached nitrogen atom to form a homopiperazinyll optionally substituted in the 4-position with C_1 - C_4 alkyl, aryl, or aryl(C_1 - C_4 alkyl).

18. The compound of claim 1, wherein R^3 is a structure selected from the group consisting of



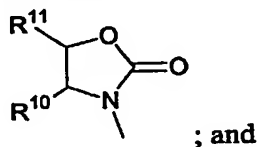
19. The compound of claim 1, wherein R^3 is



20. The compound of claim 1, wherein R^4 is optionally substituted aryl(C_1 - C_4 alkyl), optionally substituted aryl(C_2 - C_4 alkenyl), or optionally substituted aryl(C_2 - C_4 alkynyl).

21. The compound of claim 1, wherein R^4 is optionally substituted aryl(C_2 - C_4 alkenyl).

22. The compound of claim 1, wherein R^3 is



R^{10} is optionally substituted phenyl.

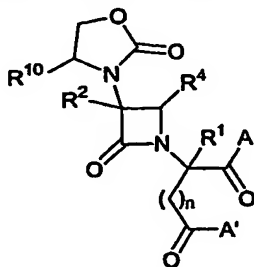
23. The compound of claim 18, wherein A is XNH -, where X is optionally substituted aryl(C_1 - C_4 alkyl).

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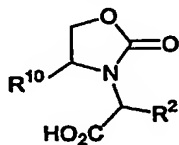
24. The compound of claim 18, wherein A' is R^{14'}XN-, where R^{14'} and X' are taken together with the attached nitrogen atom to form an optionally substituted second heterocycle, said optionally second heterocycle selected from the group consisting of piperidinyl and piperazinyl.

25. A pharmaceutical composition comprising the compound of any of the preceding claims, where the compound is present in a pharmaceutically effective amount for treating a disease state responsive to antagonism of a vasopressin V_{1a} receptor in a mammal in need of such treatment; and a pharmaceutically acceptable carrier, diluent, or excipient.

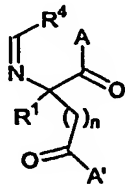
26. A process for preparing a compound of the formula:



wherein R¹, R², R⁴, n, A, and A' are as defined in claim 1, and R¹⁰ is optionally substituted aryl, the process comprising the step of reacting a compound of the formula:



with a compound of the formula:



27. A method for treating a disease state responsive to antagonism of a vasopressin V_{1a} receptor in a mammal in need of such treatment, the method comprising the step of administering to the mammal a pharmaceutically effective amount of the compound of any one of claims 1-24.

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28. The method of claim 27, wherein the compound is included in a pharmaceutical composition comprising the compound and a pharmaceutically acceptable carrier, diluent, or excipient.

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